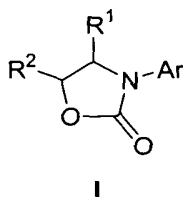


# CLAIMS

1. A method for preparing a compound of formula I



wherein

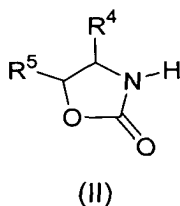
- 10  $R^1$  is a partially saturated, fully saturated or fully unsaturated ( $C_1$ - $C_4$ ) straight or branched carbon chain wherein the carbons, other than the connecting carbon, may optionally be replaced with one heteroatom selected independently from oxygen, sulfur and nitrogen wherein said carbon atoms are optionally mono-, di- or tri-substituted independently with halo, said carbon is optionally mono-substituted with oxo or hydroxy, said sulfur is optionally mono- or di-substituted with oxo, said
- 15 nitrogen is optionally mono- or di-substituted with oxo; or said  $R^1$  is a partially saturated, fully saturated or fully unsaturated three to five membered ring optionally having one heteroatom selected independently from oxygen, sulfur and nitrogen; wherein said  $R^1$  ring is optionally mono-, di- or tri-substituted independently with halo, ( $C_1$ - $C_6$ )alkoxy, nitro, ( $C_1$ - $C_4$ )alkyloxycarbonyl;

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$R^2$  is hydrogen,  $C_1$ - $C_4$  alkyl,  $C_3$ - $C_6$  cycloalkyl,  $C_2$ - $C_6$  alkenyl,  $C_2$ - $C_6$  alkynyl,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkyl;

- phenyl optionally substituted with  $C_1$ - $C_6$  alkoxy or OY wherein Y is a hydroxy protecting group, halogen,  $C_1$ - $C_4$  alkyl,  $C_2$ - $C_6$  alkenyl,  $C_2$ - $C_6$  alkynyl,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkyl, trifluoromethyl, nitro, carbo- $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkoxy-carbonyl, carbonyl, or cyano;
- 25 or benzyl with the phenyl moiety of the benzyl optionally substituted with  $C_1$ - $C_6$  alkoxy or OY wherein Y is a hydroxy protecting group, halogen,  $C_1$ - $C_4$  alkyl,  $C_2$ - $C_6$  alkenyl,  $C_2$ - $C_6$  alkynyl,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkyl, trifluoromethyl, amido, nitro, carbo- $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkoxy-carbonyl, carbonyl or cyano;
- 30

- wherein Ar is an aromatic hydrocarbon or heteroaromatic moiety selected from the group consisting of phenyl, naphthyl, pyridyl, thiophenyl, furanyl, pyrrolyl and pyrimidyl, imidazolyl, oxazolyl, thiazolyl, triazolyl, pyrazolyl, pyrazinyl, pyridazinyl each of which may be optionally substituted by one or more, preferably one to two, substituents independently selected from the group consisting of halogen, hydroxyl, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, trifluoromethyl (CF<sub>3</sub>), amino, amido, imines, nitrato, carbo-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-carbonyl, carbonyls (ketones and aldehydes), cyano;
- 10 comprising reacting a compound of formula II



- 15 wherein
- R<sup>4</sup> is a partially saturated, fully saturated or fully unsaturated (C<sub>1</sub>-C<sub>4</sub>) straight or branched carbon chain wherein the carbons, other than the connecting carbon, may optionally be replaced with one heteroatom selected independently from oxygen, sulfur and nitrogen wherein said carbon atoms are optionally mono-, di- or tri-substituted independently with halo, said carbon is optionally mono-substituted with oxo or hydroxy, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen is optionally mono- or di-substituted with oxo; or said R<sup>1</sup> is a partially saturated, fully saturated or fully unsaturated three to five membered ring optionally having one heteroatom selected independently from oxygen, sulfur and nitrogen;
- 20 wherein said R<sup>1</sup> ring is optionally mono-, di- or tri-substituted independently with halo, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, nitro, (C<sub>1</sub>-C<sub>4</sub>)alkyloxycarbonyl;
- R<sup>5</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl;
- phenyl optionally substituted with C<sub>1</sub>-C<sub>6</sub> alkoxy or OY wherein Y is a hydroxy
- 30 protecting group, halogen, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-

C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, trifluoromethyl, nitro, carbo-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-carbonyl, carbonyl, or cyano;

or benzyl with the phenyl moiety of the benzyl optionally substituted with C<sub>1</sub>-C<sub>6</sub> alkoxy or OY wherein Y is a hydroxy protecting group, halogen, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, trifluoromethyl, amido, nitro, carbo-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-carbonyl, carbonyl or cyano;

with an aryl halide of formula III

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Ar-L

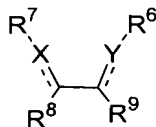
(III)

wherein Ar is an aromatic hydrocarbon or heteroaromatic moiety selected from the group consisting of phenyl, naphthyl, pyridyl, thiophenyl, furanyl, pyrrolyl and pyrimidyl, imidazolyl, oxazolyl, thiazolyl, triazolyl, pyrazolyl, pyrazinyl, pyridazinyl each of which may be optionally substituted by one or more, preferably one to two, substituents independently selected from the group consisting of halogen, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, trifluoromethyl (CF<sub>3</sub>), nitro, carbo-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-carbonyl, carbonyls (ketones and aldehydes), cyano;

L is an activated leaving group, such as a halide, preferably iodide or bromide; or alkyl- or aryl-sulfonate, such as mesylate, triflate, tosylate

in the presence of a bidentate ligand of formula IV

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(IV)

wherein

R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> are independently selected from hydrogen, cyclic or acyclic C<sub>1</sub>-C<sub>6</sub> alkyl, alkenyl, aryl,

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wherein X and Y are independently selected from nitrogen and oxygen;  
where nitrogen is incorporated as an amine or imine or as a part of nitrogen  
heterocycle; where oxygen is incorporated as a hydroxy, alkoxy, or oxo substituent,

5 and in the presence of a copper catalyst.

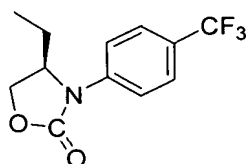
2. The method according to claim 1 wherein the activated leaving group is an  
iodide or bromide.

10 3. The method according to claim 1 wherein the ligand is N,N-dimethyl  
ethylenediamine.

4. The method according to claim 1 wherein the ligand is 1,2-  
diaminocyclohexane.

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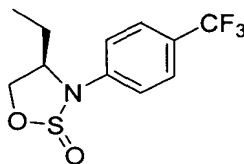
5. A compound (R)-4-ethyl-3-(4-trifluoromethyl-phenyl)-oxazolidin-2-one of  
formula V



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(V)

6. A compound (R)-4-ethyl-3-(4-trifluoromethyl-phenyl)-[1,2,3]oxathiazolidine 2-  
oxide of formula VI



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(VI)